STN - STRUCTURE SEARCH
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10/791,198

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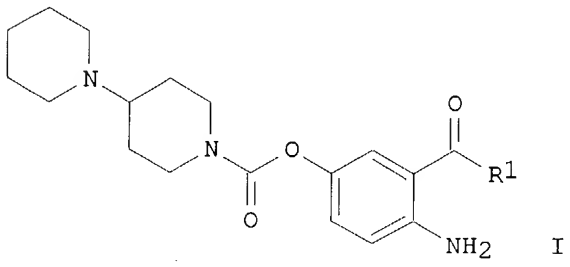
L7 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:855911 CAPLUS
DOCUMENT NUMBER: 139:364830
TITLE: Process for the preparation of piperidine derivatives
INVENTOR(S): Henegar, Kevin E.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003089413	A1	20031030	WO 2003-US11551	20030416
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004048832	A1	20040311	US 2003-414852	20030416
US 6723729	B2	20040420		

PRIORITY APPLN. INFO.: US 2002-373727P P 20020417
OTHER SOURCE(S): MARPAT 139:364830
GI



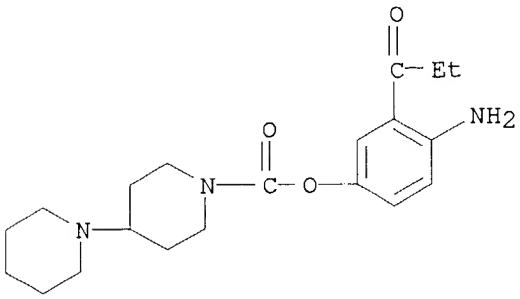
I

AB Compds. having the formula (I; R1 = hydrogen, alkyl, aralkyl, hydroxymethyl, carboxymethyl, acyloxymethyl, trialkylsilyl, CH2NR3R4; R3, R4 = hydrogen, alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl; R3 = hydrogen, alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, and R4 = COR5 where R5 = hydrogen, alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl; NR3R4 = saturated 3- to 7-member heterocyclic group), useful as intermediates in a process to prepare camptothecin derivs. including the anti-cancer drug irinotecan, are prepared

IT **620160-86-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in a process for the preparation of piperidine derivs.)

RN 620160-86-3 CAPLUS

CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-amino-3-(1-oxopropyl)phenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:491188 CAPLUS
DOCUMENT NUMBER: 139:69057
TITLE: Preparation of carbamates as hormone-sensitive lipase inhibitors for the treatment of diabetes and related disorders
INVENTOR(S): Ebdrup, Soren; Hansen, Holger Claus; Vedso, Per; Cornelis De Jong, Johannes; Jacobsen, Poul
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 390 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

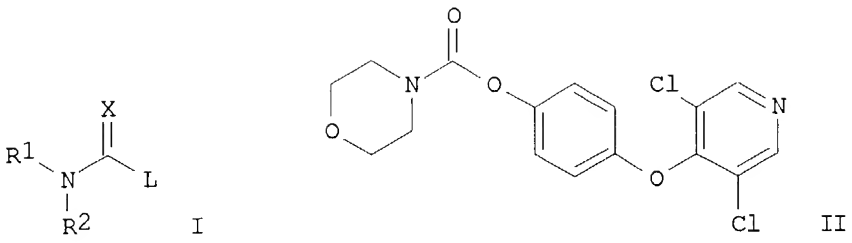
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051842	A2	20030626	WO 2002-DK853	20021213
WO 2003051842	A3	20040603		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003166690	A1	20030904	US 2002-319212	20021213
US 2003166644	A1	20030904	US 2002-319885	20021213

PRIORITY APPLN. INFO.:

DK 2001-1879	A	20011214
DK 2002-645	A	20020430
DK 2002-1000	A	20020627
DK 2002-1562	A	20021011
US 2002-346909P	P	20020103
US 2002-384243P	P	20020530
US 2002-393068P	P	20020628
US 2002-418481P	P	20021015

OTHER SOURCE(S): MARPAT 139:69057

GI

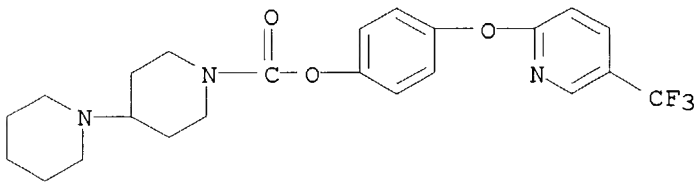


AB Title compds. I [wherein R1 = H or (un)substituted (cyclo)alkyl or alkenyl; R2 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, or heterocyclyl; or NR1R2 = heterocyclyl; X = O or S; L = a hydrolyzable group; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, racemates, or polymorphs thereof] were prepared as inhibitors of hormone-sensitive lipase (HSL). For example, esterification of morpholine-4-carbonyl chloride with 4-(3,5-dichloropyridin-4-yloxy)phenol in the presence of DABCO in THF gave II, which showed 88% inhibition of HSL at a concentration of 10 μM. Thus, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable, such as diabetes (no data).

IT **548766-17-2P**, [1,4']Bipiperidinyl-1'-carboxylic acid 4-(5-trifluoromethylpyridin-2-yloxy)phenyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(lipase inhibitor; preparation of carbamates as HSL inhibitors for treatment of diabetes and related disorders)

RN 548766-17-2 CAPLUS

CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-[[5-(trifluoromethyl)-2-pyridinyl]oxy]phenyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

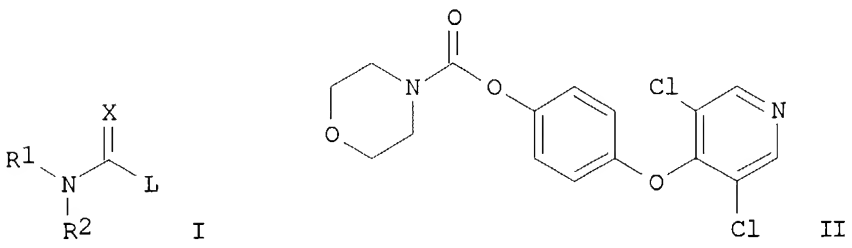
ACCESSION NUMBER:	2003:491187 CAPLUS
DOCUMENT NUMBER:	139:69056
TITLE:	Preparation of carbamates as hormone-sensitive lipase inhibitors for the treatment of diabetes and related disorders
INVENTOR(S):	Ebdrup, Soren; Cornelis De Jong, Johannes; Jacobsen, Poul; Hansen, Holger Claus; Vedso, Per
PATENT ASSIGNEE(S):	Novo Nordisk A/S, Den.
SOURCE:	PCT Int. Appl., 519 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051841	A2	20030626	WO 2002-DK852	20021213
WO 2003051841	A3	20040624		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003166690	A1	20030904	US 2002-319212	20021213
US 2003166644	A1	20030904	US 2002-319885	20021213
PRIORITY APPLN. INFO.:			DK 2001-1879 A	20011214
			DK 2002-645 A	20020430
			DK 2002-1000 A	20020627
			DK 2002-1562 A	20021011
			US 2002-346909P P	20020103
			US 2002-384243P P	20020530
			US 2002-393068P P	20020628
			US 2002-418481P P	20021015

OTHER SOURCE(S): MARPAT 139:69056

GI



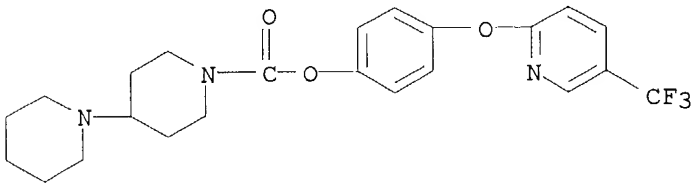
AB Title compds. I [wherein R1 = H or (un)substituted (cyclo)alkyl or alkenyl; R2 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, or heterocyclyl; or NR1R2 = heterocyclyl; X = O or S; L = a hydrolyzable group; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, racemates, or polymorphs thereof] were prepared as inhibitors of hormone-sensitive lipase (HSL). For example, esterification of morpholine-4-carbonyl chloride with 4-(3,5-dichloropyridin-4-yloxy)phenol in the presence of DABCO in THF gave II, which showed 88% inhibition of HSL at a concentration of 10 μM. Thus, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable, such as diabetes (no data).

IT **548766-17-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(lipase inhibitor; preparation of carbamates as HSL inhibitors for treatment

of diabetes and related disorders)
RN 548766-17-2 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-[[5-(trifluoromethyl)-2-pyridinyl]oxy]phenyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:464384 CAPLUS
DOCUMENT NUMBER: 135:61470
TITLE: Synthesis of camptothecin and related compounds via a novel 4+1 radical annulation
INVENTOR(S): Curran, Dennis P.; Bom, David
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: U.S., 37 pp., Cont.-in-part of U.S. Ser. No. 436,799, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6252079	B1	20010626	US 1997-886093	19970702
US 6211371	B1	20010403	US 1998-7872	19980115
WO 9901456	A1	19990114	WO 1998-US13941	19980702
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9884761	A1	19990125	AU 1998-84761	19980702
US 2001029298	A1	20011011	US 2001-815459	20010323
US 6620937	B2	20030916		
US 2004063947	A1	20040401	US 2003-663605	20030916

PRIORITY APPLN. INFO.:

US 1993-85190	B2	19930630
US 1995-436799	B2	19950508
US 1997-886093	A	19970702
US 1998-7872	A3	19980115
WO 1998-US13941	W	19980702
US 2001-815459	A3	20010323

OTHER SOURCE(S): CASREACT 135:61470; MARPAT 135:61470
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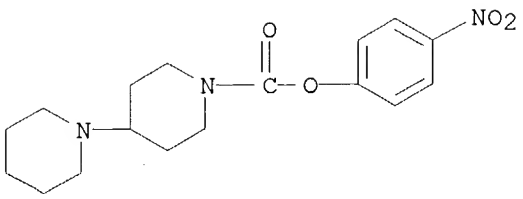
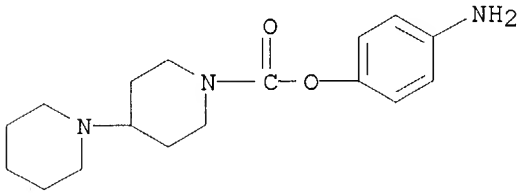
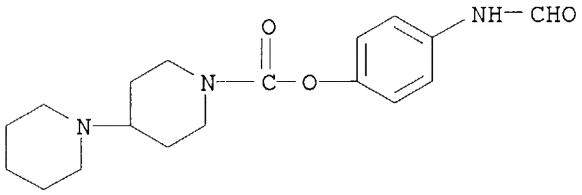


RN 202745-11-7 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-aminophenyl ester (9CI) (CA INDEX NAME)



RN 202745-12-8 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-(formylamino)phenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

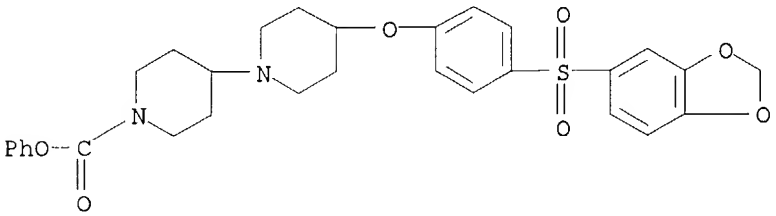
L7 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:246314 CAPLUS
DOCUMENT NUMBER: 135:76756
TITLE: Design and synthesis of ether analogues as potent and selective M2 muscarinic receptor antagonists
AUTHOR(S): Wang, Y.; Chackalamannil, S.; Chang, W.; Greenlee, W.; Ruperto, V.; Duffy, R. A.; McQuade, R.; Lachowicz, J. E.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(7), 891-894
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:76756
AB Selective M2 muscarinic antagonists, 4-{4-[4-(arylsulfonyl)phenoxy]piperidin-1-yl}piperidines, which replace a metabolically labile styrenyl moiety of a prototypical M2 antagonist with an ether linkage, were synthesized.

A detailed SAR study in this class of compds. yielded highly active compds. that showed M2 Ki values of <1.0 nM and >100-fold selectivity against M1, M3, and M5 receptors.

IT **203444-62-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of {[(arylsulfonyl)phenoxy]piperidinyl}piperidines as M2 muscarinic receptor antagonists)

RN 203444-62-6 CAPLUS

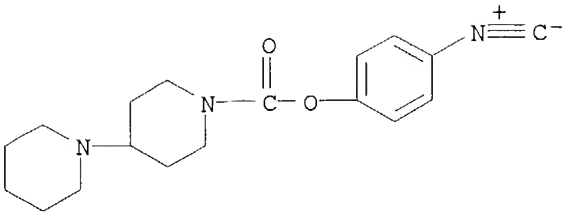
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-[4-(1,3-benzodioxol-5-ylsulfonyl)phenoxy]-, phenyl ester (9CI) (CA INDEX NAME)



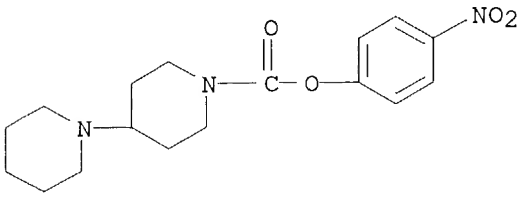
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:819476 CAPLUS
DOCUMENT NUMBER: 133:362876
TITLE: Methods for preparation of camptothecin analogs having antitumor activity
INVENTOR(S): Curran, Dennis P.; Josien, Hubert; David, Bom
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: U.S., 24 pp., Cont.-in-part of U. S. Ser. No. 436,799. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

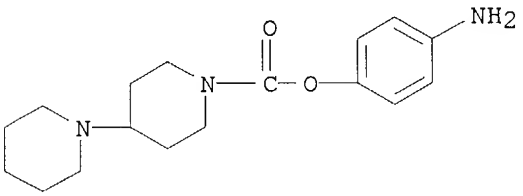
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6150343	A	20001121	US 1997-921102	19970829
US 6211371	B1	20010403	US 1998-7872	19980115
CA 2302226	AA	19990304	CA 1998-2302226	19980826
WO 9909996	A1	19990304	WO 1998-US17683	19980826
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9892056	A1	19990316	AU 1998-92056	19980826
AU 760543	B2	20030515		
EP 1017399	A1	20000712	EP 1998-944535	19980826
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001513567	T2	20010904	JP 2000-507386	19980826
US 6136978	A	20001024	US 1998-212178	19981215



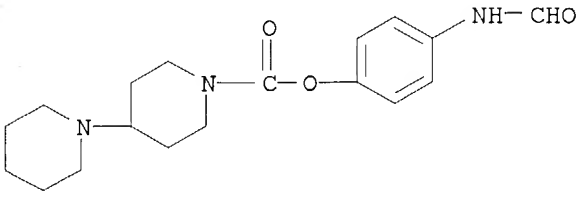
RN 202745-10-6 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-nitrophenyl ester (9CI) (CA INDEX NAME)



RN 202745-11-7 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-aminophenyl ester (9CI) (CA INDEX NAME)



RN 202745-12-8 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-(formylamino)phenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:754523 CAPLUS
DOCUMENT NUMBER: 133:322036
TITLE: Methods for preparation of camptothecin analogs having antitumor activity

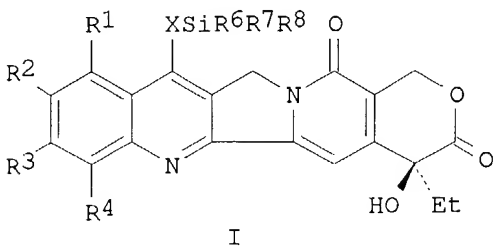
INVENTOR(S): Curran, Dennis P.; Josien, Hubert; Bom, David; Burke, Thomas G.
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: U.S., 52 pp., Cont.-in-part of U.S. Ser. No. 921,102. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6136978	A	20001024	US 1998-212178	19981215
US 6150343	A	20001121	US 1997-921102	19970829
WO 2000035924	A1	20000622	WO 1999-US29937	19991215
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1140948	A1	20011010	EP 1999-965287	19991215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002532505	T2	20021002	JP 2000-588183	19991215
US 2001029298	A1	20011011	US 2001-815459	20010323
US 6620937	B2	20030916		
US 2002193598	A1	20021219	US 2002-134781	20020429
US 6743917	B2	20040601		
US 2004063947	A1	20040401	US 2003-663605	20030916

PRIORITY APPLN. INFO.:

US 1993-85190	B2	19930630
US 1995-436799	B2	19950508
US 1997-921102	A2	19970829
US 1998-7872	A3	19980115
US 1998-212178	A	19981215
WO 1999-US29937	W	19991215
US 2000-613968	B1	20000711
US 2001-815459	A3	20010323

OTHER SOURCE(S): MARPAT 133:322036
GI



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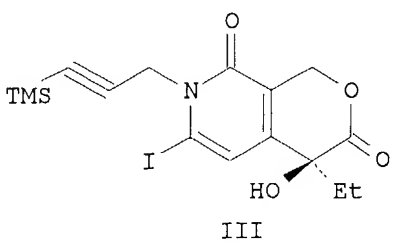
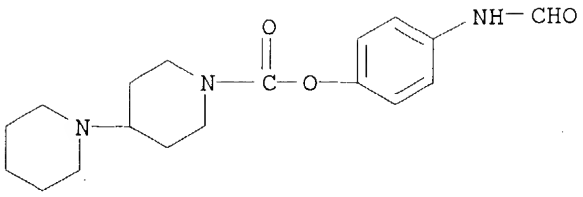


III

AB Camptothecin derivs. [I; R1,R2 = H, alkyl, alkenyl, benzyl, alkynyl, alkoxy, aryloxy, acyloxy, -OC(O)ORd, {Rd = alkyl, carbamoyloxy, halogen, OH, NO2, CN, N3, CHO, NH2, -SRc (Rc = H, acyl, alkyl, aryl etc.,)}; R3 = H, halogen, NO2, NH2, OH, CN; or R1 + R2 or R2 + R3together form a group

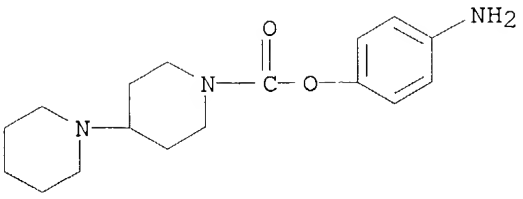


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

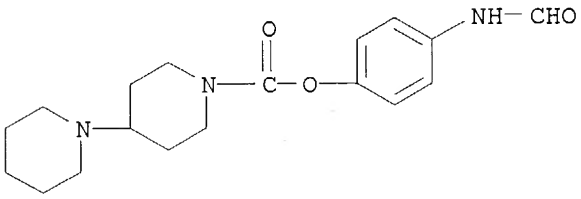
L7 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:421147 CAPLUS
DOCUMENT NUMBER: 133:43697
TITLE: Preparation of camptothecin analogs for use as antitumor agents
INVENTOR(S): Curran, Dennis P.; Josien, Hubert; Bom, David; Burke, Thomas G.
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035924	A1	20000622	WO 1999-US29937	19991215
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6136978	A	20001024	US 1998-212178	19981215
EP 1140948	A1	20011010	EP 1999-965287	19991215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002532505	T2	20021002	JP 2000-588183	19991215
PRIORITY APPLN. INFO.:			US 1998-212178	A 19981215
			US 1993-85190	B2 19930630
			US 1995-436799	B2 19950508
			US 1997-921102	A2 19970829
			WO 1999-US29937	W 19991215

OTHER SOURCE(S): MARPAT 133:43697
GI



RN 202745-12-8 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-(formylamino)phenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:704995 CAPLUS
DOCUMENT NUMBER: 131:310560
TITLE: 1,4-Disubstituted piperidine ether muscarinic antagonists
INVENTOR(S): Wang, Yuguang; Chang, Wei K.; Dugar, Sundeep; Chackalamannil, Samuel
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 24 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5977138	A	19991102	US 1997-910616		19970813
PRIORITY APPLN. INFO.:			US 1996-24112P	P	19960816

OTHER SOURCE(S): MARPAT 131:310560
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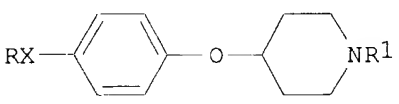

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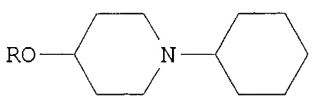

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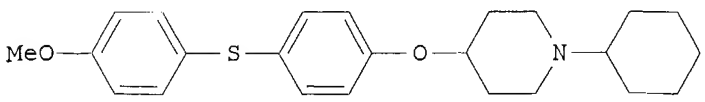
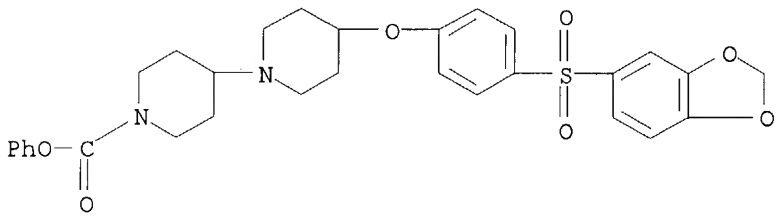


III

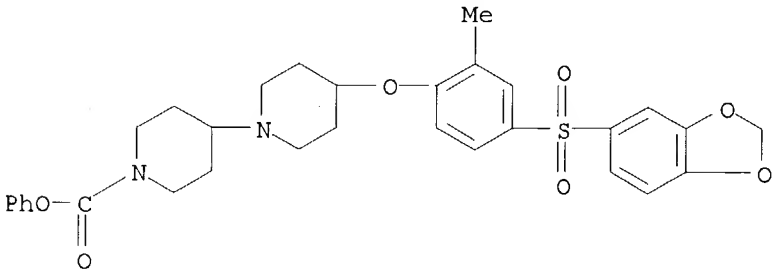
AB Title compds. such as I [X = a bond, O, S, SO2, CO, CH:CH, CH2, etc.; R = cycloalkyl, (un)substituted Ph, (un)substituted pyridyl; R1 = H, alkyl, (un)substituted cycloalkyl, cycloalkenyl, (un)substituted piperidinyl, etc.] were prepared for treatment of cognitive disorders such as Alzheimer' disease. Thus, heating a solution of 0.58 g II (R = 4-iodophenyl), obtained from II (R = H) and 4-iodophenol, 0.42 g 4-methoxybenzenethiol, 47.6 mg CuI, 1.0 g K2CO3 in 9 mL DMPU under N2 at 140-145° for 4.5 h gave 0.45 g III, which was converted to the hydrochloride. Ranges of Ki values were given for binding of I to m1, m2, m3, and m4 receptors.

IT **203444-62-6P 203444-84-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as M2 muscarinic antagonist)

RN 203444-62-6 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-[4-(1,3-benzodioxol-5-ylsulfonyl)phenoxy]-, phenyl ester (9CI) (CA INDEX NAME)



RN 203444-84-2 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-[4-(1,3-benzodioxol-5-ylsulfonyl)-2-methylphenoxy]-, phenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:172606 CAPLUS
DOCUMENT NUMBER: 130:209844
TITLE: Preparation of camptothecin analogs for use as antitumor agents
INVENTOR(S): Curran, Dennis P.; Josien, Hubert; Bom, David
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9909996	A1	19990304	WO 1998-US17683	19980826
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6150343	A	20001121	US 1997-921102	19970829
CA 2302226	AA	19990304	CA 1998-2302226	19980826
AU 9892056	A1	19990316	AU 1998-92056	19980826
AU 760543	B2	20030515		
EP 1017399	A1	20000712	EP 1998-944535	19980826
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001513567	T2	20010904	JP 2000-507386	19980826

PRIORITY APPLN. INFO.:

US 1997-921102	A	19970829
US 1993-85190	A2	19930630
US 1995-436799	A2	19950508
WO 1998-US17683	W	19980826

OTHER SOURCE(S): MARPAT 130:209844
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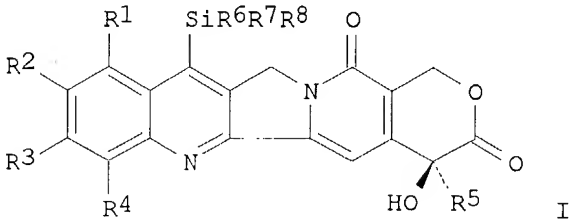


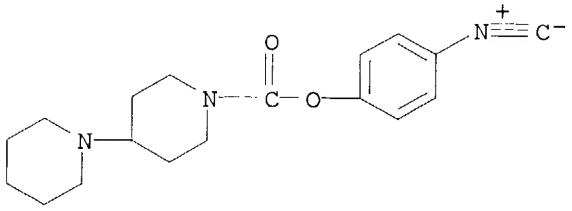
I

AB Camptothecin analogs I [R1, R2 = H, OH, NO2, CN, N3, NH2, CHO, NHNH2, SH, benzyl, alkyl, alkenyl, alkynyl, alkoxyl, aryloxy, acyloxy, carbamoyloxy, halogen, acyl, alkylthio, acylthio, arylthio; R1R2 = -O(CH2)nO-; n = 1, 2; R3 = H, NO2, NH2, OH, CN; R2R3 = -O(CH2)nO-; n = 1, 2; R4 = H, F, alkyl, alkenyl, alkynyl, alkoxyl; R5 = propargyl, alkyl; R6, R7, R8 = alkyl, alkenyl, alkynyl, aryl, -(CH2)mR9; m = 1-10; R9 = OH, NH2, CN, NO2, alkoxy, alkylamino, dialkylamino, halogen] were prepared for use as antitumor agents. Thus, (20S)-7-(trimethylsilyl)camptothecin was prepared in 85% yield by cyclization of (4S)-4-ethyl-4-hydroxy-6-iodo-1H-pyrano[3,4-c]pyridine-3,8(4H,7H)-dione with Me3SiC.tplbond.CCH2Br in DME and DMF at 0°. The prepared compds. were tested for enhancement and inhibition of topoisomerase I activity and for inhibition of cancer cell growth of HL-60, 833K, and DC-3F cell lines.

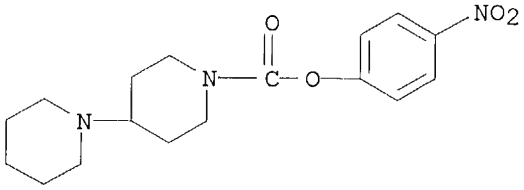
IT **202744-81-8P 202745-10-6P 202745-11-7P 202745-12-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of camptothecin analogs for use as antitumor agents)

RN 202744-81-8 CAPLUS

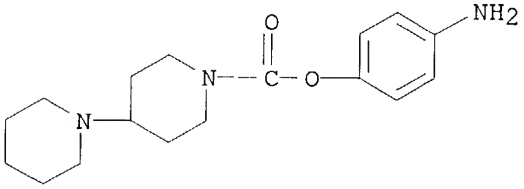
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-isocyanophenyl ester (9CI) (CA INDEX NAME)



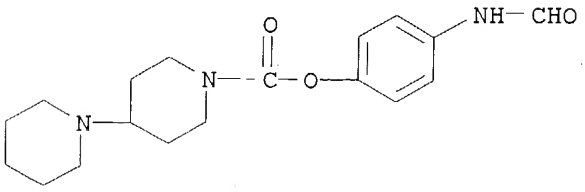
RN 202745-10-6 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-nitrophenyl ester (9CI) (CA INDEX NAME)



RN 202745-11-7 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-aminophenyl ester (9CI) (CA INDEX NAME)



RN 202745-12-8 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-(formylamino)phenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:48724 CAPLUS

DOCUMENT NUMBER: 130:125257
TITLE: Synthesis of and intermediates for camptothecins
INVENTOR(S): Curran, Dennis P.; Bom, David
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9901456	A1	19990114	WO 1998-US13941	19980702
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6252079	B1	20010626	US 1997-886093	19970702
AU 9884761	A1	19990125	AU 1998-84761	19980702
PRIORITY APPLN. INFO.:			US 1997-886093 A	19970702
			US 1993-85190 B2	19930630
			US 1995-436799 B2	19950508
			WO 1998-US13941 W	19980702

OTHER SOURCE(S): CASREACT 130:125257; MARPAT 130:125257
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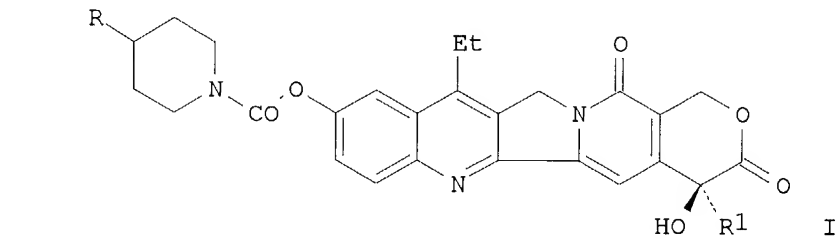

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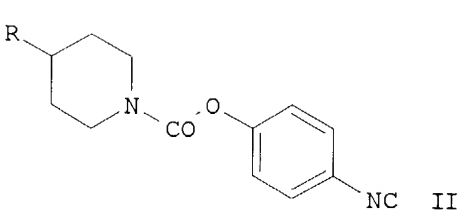

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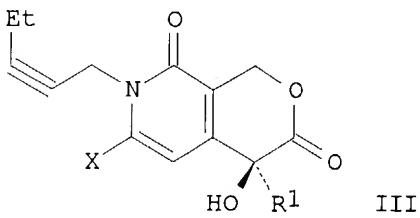
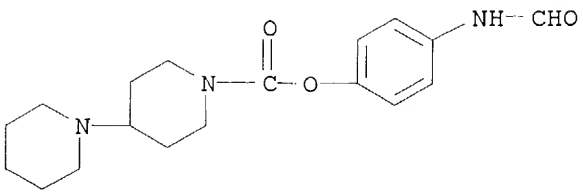


III

AB Camptothecin analogs, such as I [R = H, alkoxy, N containing heterocyclyl, such as piperidinyl; R1 = allyl, propargyl, benzyl, alkyl], were prepared via a novel [4 + 1] radical annulation of the corresponding isonitriles II with pyridinones III [X = Br, iodo] for use as topoisomerase inhibitors. Thus, (+)-irinotecan I [R = piperidinyl, R1 = Et] was prepd in 31% yield by cyclization of isonitrile II [R = piperidinyl] with pyridinone III [R1 = Et, X = iodo] in the presence of hexadimethylditin in benzene. The prepared compds were tested for topoisomerase I inhibiting activity and



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:776664 CAPLUS
DOCUMENT NUMBER: 130:20561
TITLE: Bisaryl compounds and cancer remedies containing the same
INVENTOR(S): Yonetani, Yoshiyuki; Takahashi, Takeshi; Okada, Yuko; Mizukami, Tamio; Tamaoki, Tatsuya; Ikeda, Shun-ichi; Takashima, Masanobu; Asanuma, Naoki; Inaba, Tadashi; Takeuchi, Hiroshi; Kawamoto, Hiroshi; Tsukada, Yoshihisa; Satomura, Masato; Kitaguchi, Hiroshi
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan; Fuji Photo Film Co., Ltd.; et al.
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9852551	A1	19981126	WO 1998-JP2242	19980521
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9874498	A1	19981211	AU 1998-74498	19980521
AU 742881	B2	20020117		
EP 990439	A1	20000405	EP 1998-921757	19980521
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 2003018070	A1	20030123	US 2000-424352	20000214
US 6608061	B2	20030819		
US 2003199560	A1	20031023	US 2003-342231	20030115
PRIORITY APPLN. INFO.:			JP 1997-132398 A	19970522
			JP 1997-347989 A	19971217
			WO 1998-JP2242 W	19980521
			US 2000-424352 A3	20000214

AB Cancer remedies, each containing a compound of the following general formula: Ar1-S-R1-S-Ar2 [wherein RP represents a non-metallic connecting group; Ar1 and Ar2 each independently represents aryl or heteroaryl having 1 to 3 hydroxyls which may be substituted with a monovalent group on the ring thereof (and optionally having 1 to 3 substituents other than the hydroxyl on the ring thereof)] or a physiol. acceptable salt thereof; and compds.

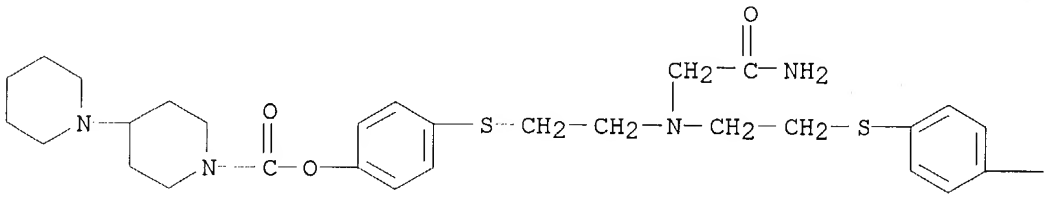
of the following general formula (XII): Ar23-S-R22-N(R24)-R23-S-Ar24 [wherein R22 and R23 each independently represents a divalent group; R24 represents a monovalent group or atom, or R24 may be bonded to R22 and/or R23 to form a cyclic structure and further bonded to one or two C1-4 alkylene groups to form a divalent group; and Ar23 and Ar24 each independently represents aryl or heteroaryl (optionally having 1 to 3 substituents other than hydroxyl on the ring thereof) having 1 to 3 hydroxyls which may be substituted with a monovalent group; excluding specified compds.] and salts thereof.

IT **216498-37-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bisaryl compds. and cancer remedies containing the same)

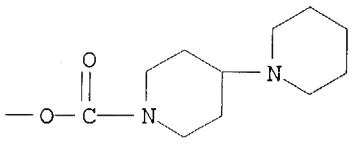
RN 216498-37-2 CAPLUS

CN [1,4'-Bipiperidine]-1'-carboxylic acid, [(2-amino-2-oxoethyl)imino]bis(2,1-ethanediylthio-4,1-phenylene) ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

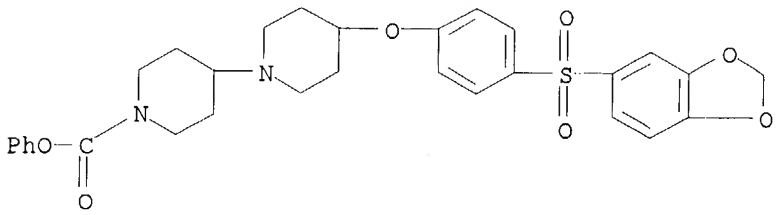


REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

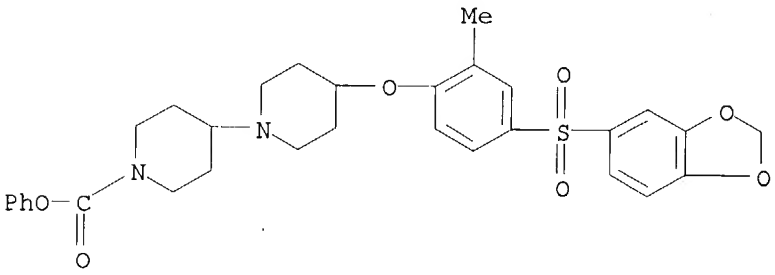
L7 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:129461 CAPLUS
DOCUMENT NUMBER: 128:192554
TITLE: Preparation of phenyl piperidin-4-yl ethers as muscarinic antagonists
INVENTOR(S): Wang, Yuguang; Chang, Wei K.; Dugar, Sundeep; Chackalamannil, Samuel
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9806697	A1	19980219	WO 1997-US13894	19970813

CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-[4-(1,3-benzodioxol-5-ylsulfonyl)phenoxy]-, phenyl ester (9CI) (CA INDEX NAME)



RN 203444-84-2 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-[4-(1,3-benzodioxol-5-ylsulfonyl)-2-methylphenoxy]-, phenyl ester (9CI) (CA INDEX NAME)

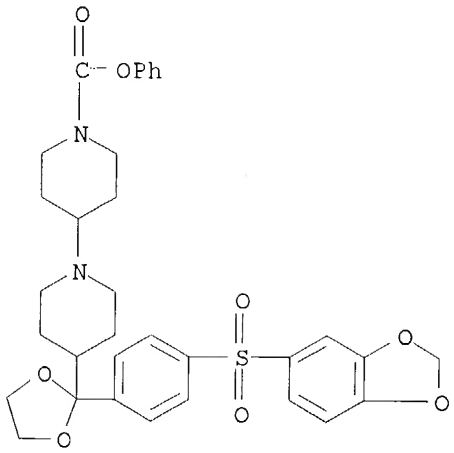


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

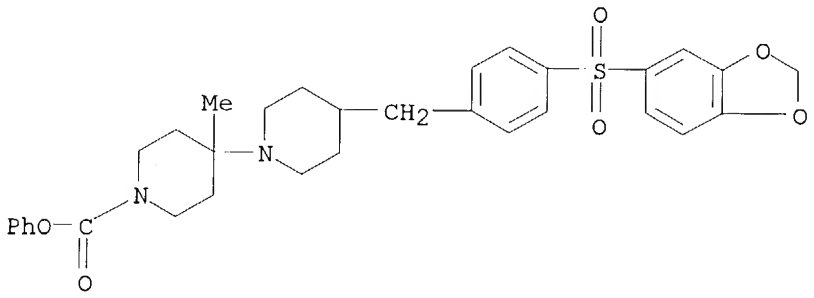
L7 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:112193 CAPLUS
DOCUMENT NUMBER: 128:180426
TITLE: Preparation of piperazine and piperidine derivatives as muscarinic antagonists
INVENTOR(S): Lowe, Derek B.; Chang, Wei K.; Kozlowski, Joseph A.; Berger, Joel G.; McQuade, Robert; Barnett, Allen; Sherlock, Margaret; Tom, Wing; Dugar, Sundeep; Chen, Lian-yong; Clader, John W.; Chackalamannil, Samuel; Wang, Yuguang; McCombie, Stuart W.; Tagat, Jayaram R.; Vice, Susan F.; Vaccaro, Wayne D.; Green, Michael J.; Browne, Margaret E.; Asberom, Theodros; Boyle, Craig D.; Josien, Hubert B.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9805292	A2	19980212	WO 1997-US13383	19970806
WO 9805292	A3	19980402		

W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO,



RN 203185-53-9 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-[[4-(1,3-benzodioxol-5-ylsulfonyl)phenyl]methyl]-4'-methyl-, phenyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:71126 CAPLUS
DOCUMENT NUMBER: 128:154255
TITLE: Preparation of taxane derivatives as antitumors and pharmaceuticals containing them
INVENTOR(S): Shimizu, Hideaki; Abe, Atsuhiro; Yaegashi, Takashi; Sawada, Seigo; Nagata, Hiroshi
PATENT ASSIGNEE(S): Kabushiki Kaisha Yakult Honsha, Japan
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9802426	A1	19980122	WO 1997-JP2431	19970714
W: AU, BR, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2259977	AA	19980122	CA 1997-2259977	19970714
AU 9734602	A1	19980209	AU 1997-34602	19970714

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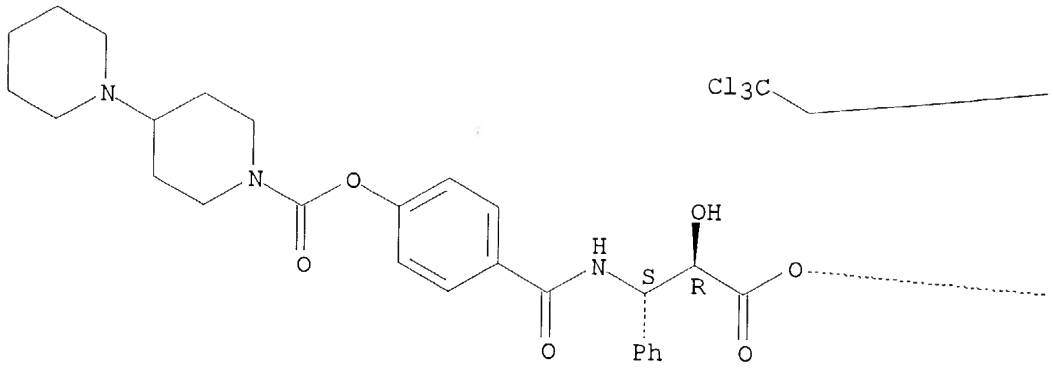

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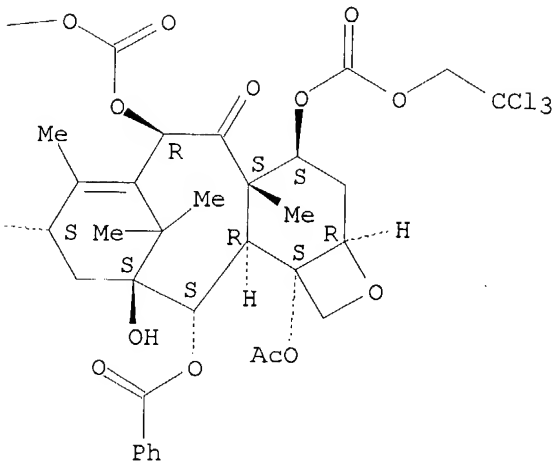
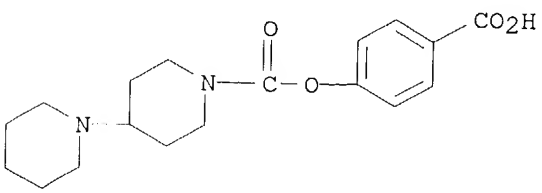


IT **189573-39-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of taxane derivs. as antitumors and pharmaceuticals containing them)
RN 189573-39-5 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-carboxyphenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

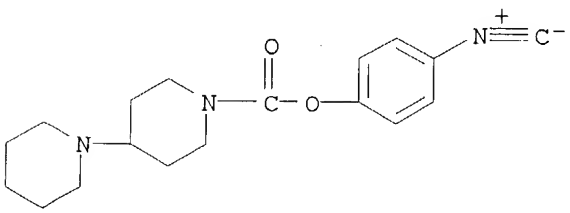
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:63390 CAPLUS
DOCUMENT NUMBER: 128:154267
TITLE: A general synthetic approach to the (20S)-camptothecin family of antitumor agents by a regiocontrolled cascade radical cyclization of aryl isonitriles
AUTHOR(S): Josien, Hubert; Ko, Sung-Bo; Bom, David; Curran, Dennis P.
CORPORATE SOURCE: Department of Chemistry, University of Pittsburgh, Pittsburgh, PA, 15260, USA
SOURCE: Chemistry--A European Journal (1998), 4(1), 67-83
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:154267

AB A general and efficient synthesis of (20S)-camptothecin (I) was reported. A key common intermediate containing the pyridone and lactone (DE) rings of camptothecin and most derivs. was constructed from 2-trimethylsilyl-6-methoxypyridine by a series of metalation reactions and a Heck cyclization to provide an achiral bicyclic enol ether. Sharpless asym. dihydroxylation followed by lactol oxidation and iododesilylation produced the key intermediate in 94% enantiomeric excess. Alkylation with propargyl bromide and a cascade radical reaction with PhNC then produced I. About 20 other penta- and hexacyclic analogs of camptothecin with differing single or multiple substituents at C7, C9, C10, C11, and/or C12 were made by changing the propargylating agent and the isonitrile. Included among these are several drug candidates and the approved drugs topotecan and irinotecan. The synthesis of the prodrug irinotecan is a direct one that does not pass through the active metabolite. The use of ortho-trimethylsilyl-substituted isonitriles allows the regioselective synthesis of camptothecin analogs in cases where isomeric mixts. are formed from the parent isonitriles. The synthesis of the derivs. relies on the broad scope and functional group tolerance of the key cascade radical reaction.

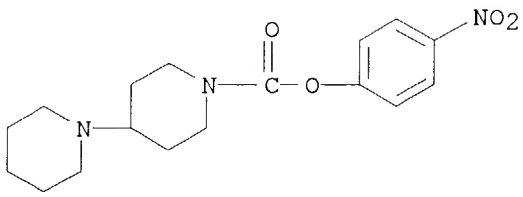
IT **202744-81-8P 202745-10-6P 202745-11-7P 202745-12-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(general synthetic approach to the (20S)-camptothecin family of antitumor agents by a regiocontrolled cascade radical cyclization of aryl isonitriles)

RN 202744-81-8 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-isocyanophenyl ester (9CI) (CA INDEX NAME)

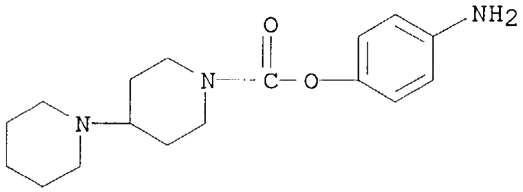


RN 202745-10-6 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-nitrophenyl ester (9CI) (CA

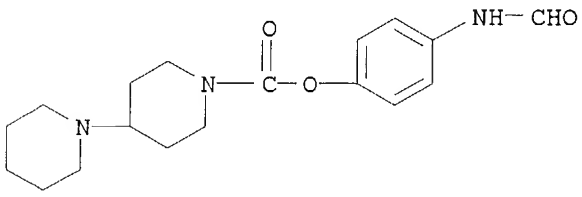
INDEX NAME)



RN 202745-11-7 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-aminophenyl ester (9CI) (CA INDEX NAME)



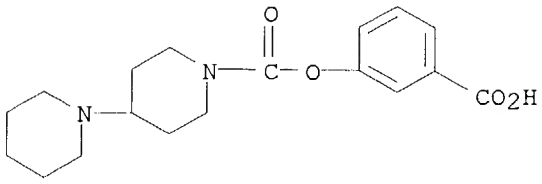
RN 202745-12-8 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-(formylamino)phenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 106 THERE ARE 106 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:389121 CAPLUS
DOCUMENT NUMBER: 127:34389
TITLE: Preparation of taxol derivatives as antitumors
INVENTOR(S): Oguro, Masao; Kiyomi, Hideaki; Abe, Atsuhiro; Sawada, Seigo
PATENT ASSIGNEE(S): Yakult Honsha Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09110865	A2	19970428	JP 1995-280094	19951027



L7 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:346839 CAPLUS
DOCUMENT NUMBER: 122:105410
TITLE: Preparation of caffeic acid amide derivatives as 12-lipoxygenase inhibitors
INVENTOR(S): Matsuki, Shinsuke; Kiso, Yoshinobu; Cho, Hidetsura; Tamaoka, Mie; Murota, Seiitsu; Morita, Ikuo
PATENT ASSIGNEE(S): Suntory Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 40 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06247850	A2	19940906	JP 1993-57991	19930224
PRIORITY APPLN. INFO.:			JP 1993-57991	19930224

OTHER SOURCE(S): MARPAT 122:105410
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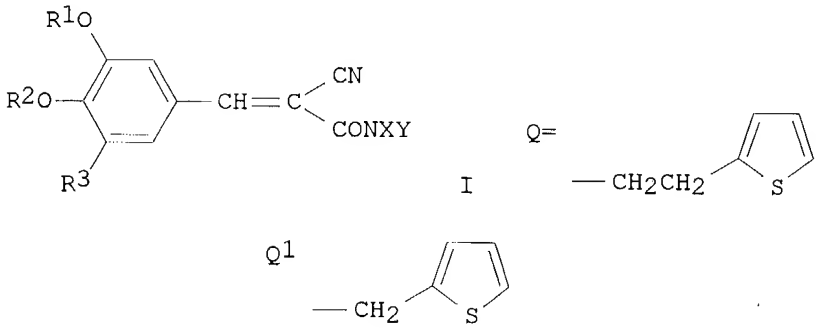

AB Caffeic acid amide derivs. [I; R1, R2 = H, COR4, C(S)R5, PO(OR6)OR7, or R1R2 forms a 5-membered ring; wherein R4 = C1-6 alkyl or alkoxy, C6-10 aryloxy, c712 aralkyloxy, substituted amino, cyclic amino; R6, R7 = C1-6 alkyl, C6-10 aryl, C7-12 aralkyl, alkali metal; R3 = OR1, OR2, H, OH, O2CR4, OC(S)R5, PO(OR6)OR7, wherein R1, R2, R4 - R7 = same as above; X, Y = H, (un)substituted C1-6 alkyl, C6-10 aryl, C7-12 aralkyl, C7-12 aralkyloxy, C7-12 arylalkenyl, C7-12 aryloxyalkenyl, heterocyclyl, or heterocyclylalkyl, or XY forms N-containing heterocyclic ring; provided that both X = Y ≠ H] and pharmacol. acceptable salts thereof, useful for the treatment of arteriosclerosis, ischemic heart diseases, etc., are prepared A medicament for the treatment and prevention of diseases caused by unusual rise in the activity of 12-lipoxygenase, e.g. atrophy of brain blood vessel, allergy, inflammation, cancer metastasis, asthma, normal psoriasis, and nephritis, contains 12-lipoxygenase inhibitor or pharmacol.

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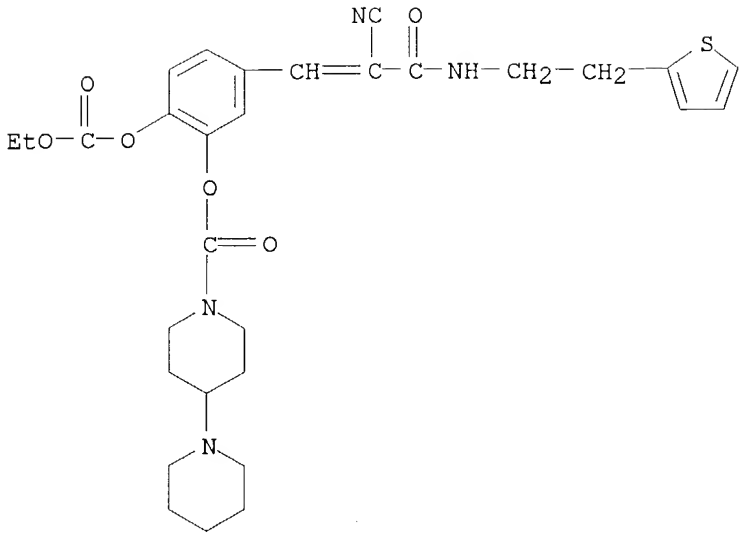

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● HCl

L7 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:538889 CAPLUS
DOCUMENT NUMBER: 119:138889
TITLE: Preparation of caffeic acid amides as 12-lipoxygenase inhibitors.
INVENTOR(S): Cho, Hidetsura; Tamaoka, Mie; Matsuki, Shinsuke; Murota, Seiitsu; Morita, Ikuo
PATENT ASSIGNEE(S): Suntory Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 30 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05058978	A2	19930309	JP 1991-238910	19910827

PRIORITY APPLN. INFO.: JP 1991-238910 19910827
OTHER SOURCE(S): MARPAT 119:138889
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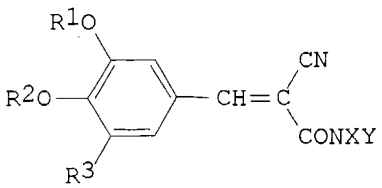

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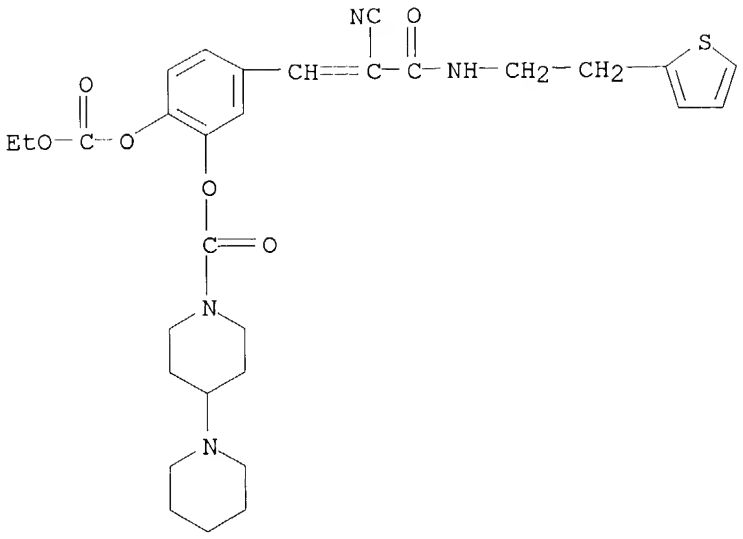

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● HCl

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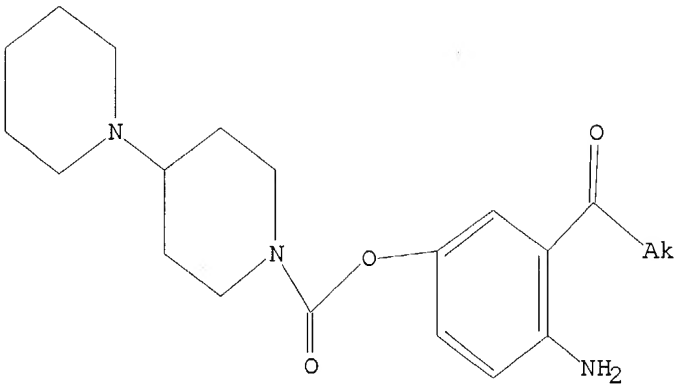

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PALM INTRANET

Day : Thursday
Date: 9/9/2004
Time: 09:52:32

Inventor Name Search Result

Your Search was:

Last Name = HENEGAR
First Name = KEVIN

Application#	Patent#	Status	Date Filed	Title
60549664	Not Issued	160	03/02/2004	METHODS FOR THE PREPARATION OF ARYL ETHE
60549580	Not Issued	160	03/02/2004	METHOD FOR THE PREPARTION OF ARYL ETHERS
60546486	Not Issued	020	02/20/2004	PROCESS FOR THE PREPARATION OF ARYL ETHER
60439953	Not Issued	159	01/14/2003	PROCESS FOR PREPARING ENANTIOMERICALLY E (1S,4R) 1-ACETYL-4-HYDROXYCYCLOPENT-2-ENE
60435991	Not Issued	159	12/23/2002	PROCESS FOR THE SYNTHESIS OF 3,3A,6,6A-TETRAHYDRO-2H-CYCLOPENTAN[B]FUR
60373727	Not Issued	159	04/17/2002	COMPOUNDS USEFUL IN PREPARING CAMPTOTHE DERIVATIVES
60306026	Not Issued	159	07/17/2001	PROCESS AND INTERMEDIATES TO PREPARE LATANOPROST
60204242	Not Issued	159	05/15/2000	PROCESS AND INTERMEDIATES TO PREPARE LATANOPROST
60114092	Not Issued	159	12/29/1998	METHOD FOR THE PREPARATION OF ARYL ETHER
10791198	Not Issued	030	03/02/2004	COMPOUNDS USEFUL IN PREPARING CAMPTOTHE DERIVATIVES
10753136	Not Issued	020	01/07/2004	PROCESS FOR PREPARING ENANTIOMERICALLY E (1S,4R) 1-ACETOXY-4-HYDROXYCYCLOPENT-2-EN
10735125	Not Issued	030	12/12/2003	PROCESS FOR THE SYNTHESIS OF 3.3A.6.6A-TETRAHYDRO-2H-CYCLOPENTAN[B]FUR
10414852	6723729	150	04/16/2003	COMPOUNDS USEFUL IN PREPARING CAMPTOTHE DERIVATIVES
10366428	Not Issued	041	02/13/2003	PROCESS AND INTERMEDIATES TO PREPARE LATANOPROST

10179499	6689901	150	06/25/2002	PROCESS AND INTERMEDIATES TO PREPARE LATANOPROST
09852393	Not Issued	168	05/09/2001	PROCESS AND INTERMEDIATES TO PREPARE LATANOPROST
09687227	6444820	150	10/13/2000	PROCESS FOR THE MANUFACTURE OF CAMPTOTH DERIVATIVES
09511006	6235907	150	02/22/2000	INTERMEDIATES USEFUL IN MAKING MAPPICINE RELATED COMPOUNDS
09469429	6376711	150	12/23/1999	METHOD FOR THE PREPARATION OF ARYL ETHER
09230245	6121451	150	10/02/1997	NOVEL INTERMEDIATES AND PROCESS FOR THE MANUFACTURE OF CAMPTOTHECIN DERIVATIVES AND RELATED COMPOUNDS
08419643	Not Issued	168	04/07/1995	NOVEL INTERMEDIATES AND PROCESS FOR THE MANUFACTURE OF CAMPTOTHECIN DERIVATIVES AND RELATED COMPOUNDS
08150626	5389669	150	11/10/1993	PYRROLE THIOCARBOXAMIDE INSECTICIDAL ANI ACARICIDAL AGENTS
07971025	5286742	150	11/03/1992	PYRROLE THIOCARBOXAMIDE INSECTICIDAL ANI ACARICIDAL AGENTS

Inventor Search Completed: No Records to Display.

Search Another: Inventor

Last Name Henegar

First Name Kevin

Search

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